L4 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN GI

AB The title compds. [I; R = (CH2)nR2; R1 = (CH2)mR3, (CH2)pAr; R2 is selected from 39 general benzo-fused phthalimido and analogous groups; R3 = cycloalkyl; Ar = (un)substituted Ph, naphthyl, pyridyl, pyrimidinyl, (iso)quinolyl; R16 = H, OH, alkoxy, acyloxy, alkyl, (un)substituted (hetero)aryl; dashed line = optional bond; when said bond is present R16 = (CH2)nR2 and q = 0, otherwise q = 1; m, p = 1-4; n = 0-4] were prepared Thus, 4-aminomethylpyridine was cyclocondensed with cis-1,2-cyclohexanedicarboxylic anhydride and the product N-alkylated with BrCH2CH2Ph to give, after hydrogenation over PtO2, title compound II which inhibited isolation-induced aggressive behavior in mice when administered orally (no dose given).

AN 1991:535930 CAPLUS

DN 115:135930

TI Preparation of (phthalimidoalkyl)piperidines and analogs as psychotropic agents

IN Ciganek, Engelbert; Tam, Sang William; Wright, Ann Sorrentino

PA du Pont de Nemours, E. I., and Co., USA

SO PCT Int. Appl., 113 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

		PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
			A1	19910516	WO 1990-US6102	19901029		
		W: AU, CA, FI,	HU, JP	, KR, NO,	SU			
		RW: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IT, LU, NL, SE			
		IL 96144	A1	19940624	IL 1990-96144	19901028		
		AU 9066265	A1	19910531	AU 1990-66265	19901029		
		AU 655406	B2	19941222	•			
•		ZA 9008641	A	19920624	ZA 1990-8641	19901029		
		EP 497843	A1	19920812	EP 1990-916143	19901029		
		R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IT, LI, LU, NL,	SE		
		JP 06504980	T2	19940609	JP 1990-515062	19901029		
		NO 9201594	A	19920424	NO 1992-1594	19920424		
		FI 9201856	A	19920424	FI 1992-1856	19920424		
	PRAI	US 1989-428097		19891027				
		US 1990-602024		19901023				
		WO 1990-US6102		19901029				
	os	MARPAT 115:135930						

IT 135903-59-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

10/29/04

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as psychotropic agent)

RN

135903-59-2 CAPLUS

1H-Isoindole-1,3(2H)-dione, hexahydro-2-[[4-(1-naphthalenyl)-1-(2-phenylethyl)-4-piperidinyl]methyl]-, (3aR,7aS)-rel-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME) CN

CM 1

CRN 135903-58-1 CMF C32 H36 N2 O2

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

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Welcome to STN International! Enter x:x

LOGINID:ssspta1612rxd

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
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                 EXTEND option available in structure searching
NEWS
        May 12
NEWS
         May 12
                 Polymer links for the POLYLINK command completed in REGISTRY
                 New UPM (Update Code Maximum) field for more efficient patent
NEWS
         May 27
                 SDIs in CAplus
                 CAplus super roles and document types searchable in REGISTRY
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         May 27
NEWS
                 STN Patent Forums to be held July 19-22, 2004
         Jun 22
NEWS
                 Additional enzyme-catalyzed reactions added to CASREACT
      8
         Jun 28
NEWS
         Jun 28
                 ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG,
                 and WATER from CSA now available on STN(R)
                 BEILSTEIN enhanced with new display and select options,
NEWS 10
         Jul 12
                 resulting in a closer connection to BABS
              MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
NEWS EXPRESS
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
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FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 22 JUL 2004 HIGHEST RN 714628-08-7 DICTIONARY FILE UPDATES: 22 JUL 2004 HIGHEST RN 714628-08-7

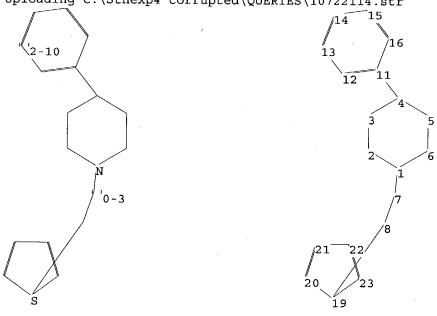
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\Stnexp4 corrupted\QUERIES\10722114.str



chain nodes : 8 ring nodes : 2 3 4 5 6 11 12 13 14 15 16 19 chain bonds : 1-7 4-11 7-8 8-19 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 19-23 20-21 21-22 22-23 exact/norm bonds : 1-2 1-6 1-7 2-3 3-4 4-5 5-6 8-19 19-20 19-23 20-21 exact bonds : 4-11 7-8 normalized bonds : 11-12 11-16 12-13 13-14 14-15 15-16

Match level :

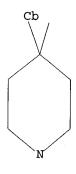
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 17:06:36 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 100259 TO ITERATE

1.0% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

7 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**

PROJECTED ITERATIONS:

EXCEEDS 1000000

PROJECTED ANSWERS:

EXCEEDS 12447

L2

7 SEA SSS SAM L1

=> logoff y

COST IN U.S. DOLLARS

SINCE FILE TOTAL

FULL ESTIMATED COST

ENTRY SESSION

0.42 0.63

STN INTERNATIONAL LOGOFF AT 17:06:57 ON 23 JUL 2004

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PASSWORD:

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         JUL 12
                 resulting in a closer connection to BABS
                 IFIPAT/IFIUDB/IFICDB reloaded with new search and display
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                 fields
NEWS
      5 AUG 02
                 CAplus and CA patent records enhanced with European and Japan
                 Patent Office Classifications
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      6 AUG 02
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                 (Version 7.01 for Windows) now available
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                 BIOCOMMERCE: Changes and enhancements to content coverage
        AUG 27
NEWS 8
        AUG 27 BIOTECHABS/BIOTECHDS: Two new display fields added for legal
                 status data from INPADOC
NEWS 9
        SEP 01
                 INPADOC: New family current-awareness alert (SDI) available
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        SEP 01
                 New pricing for the Save Answers for SciFinder Wizard within
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                 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
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        SEP 27
                 STANDARDS will no longer be available on STN
NEWS 13 SEP 27
                 SWETSCAN will no longer be available on STN
NEWS 14 OCT 28
                 KOREAPAT now available on STN
NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
             AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
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             CAS World Wide Web Site (general information)
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=> file registry
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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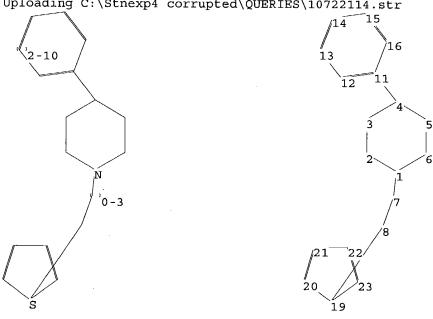
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

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```
chain nodes :
ring nodes :
1 2 3 4 5 6 11 12 13 14 15
                                  16
chain bonds :
1-7 4-11 7-8 8-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13
                                              13-14 14-15 15-16 19-20
19-23 20-21 21-22 22-23
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 5-6 8-19 19-20 19-23 20-21 21-22 22-23
exact bonds :
4-11 7-8
normalized bonds :
```

11-12 11-16 12-13 13-14 14-15 15-16

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> 11

L1 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> s l1 full

FULL SEARCH INITIATED 16:32:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 541444 TO ITERATE

73.9% PROCESSED 400000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.07

1026 ANSWERS

FULL FILE PROJECTIONS:

ONLINE **INCOMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

541444 TO 541444

PROJECTED ANSWERS:

1277 TO 1499

L2 1026 SEA SSS FUL L1

=> file registry
COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY SESSION 156.26 156.47

FILE 'REGISTRY' ENTERED AT 16:33:49 ON 29 OCT 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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chain nodes : 7 8 ring nodes : 1 2 3 4 5 6 11 12 13 14 15 16 19 chain bonds : 1-7 4-11 7-8 8-19 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 19-20 19-23 20-21 21-22 22-23 exact/norm bonds : $1 - 2 \quad 1 - 6 \quad 1 - 7 \quad 2 - 3 \quad 3 - 4 \quad 4 - 5 \quad 5 - 6 \quad 8 - 19 \quad 19 - 20 \quad 19 - 23 \quad 20 - 21 \quad 21 - 22 \quad 22 - 23$ exact bonds : 4-11 7-8 normalized bonds : 11-12 11-16 12-13 13-14 14-15 15-16

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:CLASS

L3 STRUCTURE UPLOADED

=> d 13 L3 HAS NO ANSWERS L3 ST

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT * Structure attributes must be viewed using STN Express query preparation.

=> s 13

SAMPLE SEARCH INITIATED 16:34:07 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 4246 TO ITERATE

23.6% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

16 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

81013 TO 88827

PROJECTED ANSWERS:

864 TO

16 SEA SSS SAM L3

=> s 13 ful

FULL SEARCH INITIATED 16:34:14 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 84641 TO ITERATE

100.0% PROCESSED 84641 ITERATIONS

1447 ANSWERS

SEARCH TIME: 00.00.02

1447 SEA SSS FUL L3

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 155.42 311.89

FULL ESTIMATED COST

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=> s 15

1.6 657 L5

=> file registry COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION

1.32

313.21

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TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

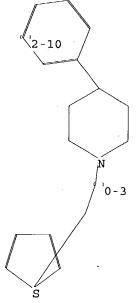
Please note that search-term pricing does apply when conducting ${\tt SmartSELECT}$ searches.

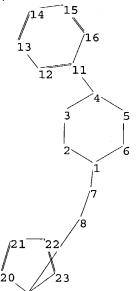
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=>

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chain nodes : ring nodes : 2 3 4 5 6 11 12 13 14 15 16 19 chain bonds : 1-7 4-11 7-8 8-19 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 19-23 20-21 21-22 22-23 exact/norm bonds : 1-2 1-6 1-7 2-3 3 – 4 4-5 5-6 8-19 19-20 19-23 20-21 21-22

exact bonds :

4-11 7-8

normalized bonds :

11-12 11-16 12-13 13-14 14-15 15-16

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:CLASS

L7 STRUCTURE UPLOADED

=> d 17

L7 HAS NO ANSWERS

L7

STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 17 ful

FULL SEARCH INITIATED 16:36:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 97930 TO ITERATE

100.0% PROCESSED 97930 ITERATIONS

138 ANSWERS

SEARCH TIME: 00.00.02

ш

138 SEA SSS FUL L7

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION 468.63

FULL ESTIMATED COST

155.42

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=> s 18

L9 29 L8

=> d abs bib fhitstr

ANSWER 1 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN

AB The title compds. of formula I (Ar1, Ar2 = (substituted) Ph, (substituted) heteroaryl; R1, R3 = H, alkyl. oxo; R2, R4 = H, (substituted) CONH2, are respectively.

etc.;

R5, R6 = H, alkyl, cycloalkyl, aryl, etc.; R5R6 = heterocyclo ring, etc.;

R7, R8 = H, alkyl, oxo; X = O, S, (substituted) NH, SO, SO2; Y = (CH2)m;

Z = (CH2)n; m, n = 0-3 (m+n = 0-4)] are prepared as NK1 antagonists. The compds. are useful for treating disorders, symptoms or diseases, including emesis, depression, anxiety and cough. Thus, II was prepared, and had Ki of

f
0.3 nM in NKL binding assay.
2004:41271 CAPLUS
140:93933
Preparation of 1-amido-4-phenyl-4-benzyloxymethylpiperidine derivatives and related compounds as neurokinin-1 (NK-1) antagonists for the ment

and relative composition treatment of emesis, depression, anxiety and cough of emesis, depression, anxiety and cough Shih, Neng-Yang; Wang, Steven; Reichard, Gregory A.; Xiao, Dong; Wang,

IN Shih, Neng-Yang; Wang, Ste Cheng PA Schering Corporation, USA SO PCT Int. Appl., 91 pp. CODEN: PIXXD2 DT Patent LA English FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. 2004004722 A1 20040115 W0 2003-US20783 20030702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GB, GE, HR, HU, ID, IL, IN, IS, JF, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SC, SK, SL, SY, TJ, TM, TN, TT, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GM, GM, KE, LS, MM, MZ, ND, SL, SZ, TZ, UG, ZM, ZM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC. WO 2004004722 W: AE, AC

L9 ANSWER 1 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GH, ML, MR, NE, SN, TD, TG
US 2004072867 A1 20040415 US 2003-612176 20030702
PRAI US 2002-393708P P 20020703
IT 643756-27-8P

RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Usea)

(Uses)

(preparation of amidophenylbenzyloxymethyl piperidine derivs. as neurokinin-1 antagonists)

RN 643756-27-8 CAPLUS

CN 2-Thiopheneacetamide,
N-[4-[[[3,5-bis(trifluoromethyl)phenyl]methoxy]methy
1]-4-phenyl-1-piperidinyl]- (9CI) (CA INDEX NAME)

$$\overset{\circ}{\underset{\text{CH}_2-\text{C-NH}-}{\bigcap}} \overset{\circ}{\underset{\text{N}}{\bigcap}} \overset{\text{Ph}}{\underset{\text{CH}_2-\text{O-CH}_2}{\bigcap}} \overset{\text{CP}_3}{\underset{\text{CF}_3}{\bigcap}}$$

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT =>

=> logoff y COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 5.64 474.27 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -0.70 -0.70

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PASSWORD:

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                 BEILSTEIN enhanced with new display and select options,
                 resulting in a closer connection to BABS
NEWS
         AUG 02
                IFIPAT/IFIUDB/IFICDB reloaded with new search and display
                 fields
NEWS
         AUG 02
     5
                 CAplus and CA patent records enhanced with European and Japan
                 Patent Office Classifications
NEWS
         AUG 02
     6
                 The Analysis Edition of STN Express with Discover!
                 (Version 7.01 for Windows) now available
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      7
         AUG 27
                 BIOCOMMERCE: Changes and enhancements to content coverage
                 BIOTECHABS/BIOTECHDS: Two new display fields added for legal
NEWS
         AUG 27
                 status data from INPADOC
                 INPADOC: New family current-awareness alert (SDI) available
NEWS 9
         SEP 01
NEWS 10
         SEP 01 New pricing for the Save Answers for SciFinder Wizard within
                 STN Express with Discover!
                 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS 11
NEWS 12
                 STANDARDS will no longer be available on STN
                 SWETSCAN will no longer be available on STN
NEWS 13
         SEP 27
        OCT 28
                 KOREAPAT now available on STN
             OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
NEWS EXPRESS
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
              STN Operating Hours Plus Help Desk Availability
NEWS HOURS
              General Internet Information
NEWS INTER
NEWS LOGIN
              Welcome Banner and News Items
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FILE 'HOME' ENTERED AT 13:44:01 ON 08 NOV 2004

=> file registry COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 7 NOV 2004 HIGHEST RN 776240-21-2 DICTIONARY FILE UPDATES: 7 NOV 2004 HIGHEST RN 776240-21-2

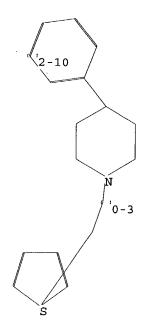
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

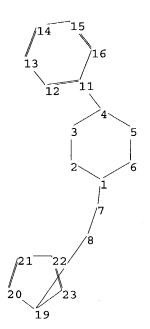
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\Stnexp4 corrupted\QUERIES\10722114.str





chain nodes :
7 8
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16 19 20 21 22 23
chain bonds :
1-7 4-11 7-8 8-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 19-20
19-23 20-21 21-22 22-23
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 5-6 8-19 19-20 19-23 20-21 21-22 22-23
exact bonds :
4-11 7-8
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

SAMPLE SEARCH INITIATED 13:44:33 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 3147 TO ITERATE

31.8% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS:

ONLINE **COMPLETE**

COMPLETE BATCH

PROJECTED ITERATIONS:

59576 TO 66304

PROJECTED ANSWERS:

0 TO

L2

0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 13:44:39 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 62538 TO ITERATE

100.0% PROCESSED 62538 ITERATIONS SEARCH TIME: 00.00.01

54 ANSWERS

L3

54 SEA SSS FUL L1

=> file caplus COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY SESSION 155.63

155.42

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 13:44:43 ON 08 NOV 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 8 Nov 2004 VOL 141 ISS 20 FILE LAST UPDATED: 7 Nov 2004 (20041107/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

22 L3

=> d abs bib hitstr 1-22

ANSWER 1 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

The invention relates to (shown as I; variables defined below; e.g. 1-[1-(2*,6*-dichlorobiphenyl-3-ylmethyl)piperidin 4-yl]-1,3-dihydrobenzimidazol-2-one and 3-(3-phenoxybenzyl)-2,3,4,5-tetrahydro-1H-benzo(d]azpine). Preferred compds. are antagonists of C-C chemokine receptor 8 (no data). The invention also relates to a method for

ting
a subject having an inflammatory disorder or viral disorder comprising
administering to a subject in need thereof an effective amount of a
ound

administering to a subject in need thereof an effective amount of a bound of the invention. Although the methods of preparation are not claimed, hundreds of example prepns are included. For I: L = 0, S, NRa, a bond, SO2, C(0), and (CR'R'')m; Ra = H, (un)substituted alkyl, alkylaryl, and cycloalkyl; a is 0 to 3; b is 0 to 3; m is 1 to 8; R' and R'' = H, (un)substituted alkyl, cyano and (un)substituted alkenyl. R6, R7, R8, R9 and R10 = H, hydroxy, halogen, (un)substituted C1-C10 alkyl, (un)substituted C2-C10 alkenyl, (un)substituted C3-C10 cycloalkyl, (un)substituted C3-C10 cycloalkyl, (un)substituted C3-C10 cycloalkynyl, (un)substituted C3-C10 cycloalkoxy, cyano, C1-C10 alkoxy, C2-C10 alkenyloxy, C2-C10 alkynyloxy, benzyloxy, (un)substituted amino, (un)substituted amino,

[R1], -SOJMRIR2, trifluoromethyl, aryl, aralkyl, heteroaryl and heteroaralkyl. R1 and R2 = H and (un)substituted alkyl; Q3 is (un)substituted alkyl; R11-R19 = H, hydroxy, halogen, (un)substituted alkyl, (un)substituted alkynyl, (un)substituted alkynyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted cycloalkyl, (un)substituted cycloalkynyl, cyano,

;y, a alkenyloxy, alkynyloxy, benzyloxy, (un)aubstituted amino, (un)aubstituted amido, O(CF3), C(o)O(R41), -C(o)(R41), -SO2NR41R42, trifluoromethyl,

aralkyl, heteroaryl and heteroaralkyl; R41 and R42 = H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted cycloalkyl, (un)substituted cycloalkynyl, (un)substituted amino, trifluoromethyl, aryl, aralkyl, heteroaryl and heteroaralkyl; or R41 and R42 may be linked via a C2-C8 (un)substituted alkyl or alkenyl bridge where 21 carbons may be replaced by O, S or NR46. O5 = N(R20)C(O)(cAtIR42):-3-, 1-N(R20)C(O)cycloalkyl (ring size = 3-9), N(R20)C(O)-substituted azacycloalkyl; R20 and R46 = H, hydroxy, (un)substituted alkyl, (un)substituted alkyl, (un)substituted alkyl, (un)substituted alkyl, (un)substituted cycloalkyl, optionally cycloalkenyl, (un)substituted

ANSWER 1 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN CMF C31 H34 N2 O5 S (Continued)

CM 2

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RN 521979-56-6 CAPLUS
CN Formic acid, compd. with
N-ethyl-3-[4-hydroxy-1-[(3-phenoxyphenyl)methyl]4-piperidinyl]-2-naphthalenesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 521979-55-5 CMF C30 H32 N2 Q4 S

10/722,114

ANSWER 1 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) cycloalkynyl, (un)substituted amino, (un)substituted amido, -C(0)O(R41), -C(0) (R41), -SO2MR4R42, trifluoromethyl, aryl, aralkyl, heteroaryl or heteroaralkyl; and Q6 = (un)substituted arom. ring, (un)substituted anonarom. heterocycle, and (un)substituted heteroarom. ring; or R18 or R19 together with 0506 and the atoms to which they are bonded form an (un)substituted nonarom. carbocyclic group, (un)substituted nonarom. heterocyclic group, (un)substituted aryl ring or (un)substituted heteroaryl ring. Addnl. details are given in the claims. 2001;356199 (APLUS) 138:368921 138:368921 Preparation of compounds as C-C chemokine receptor 8 antagonists, pharmaceutical compositions and use against inflammatory or viral disorders
Ghosh, Shomir; Patane, Michael A.; Carson, Kenneth G.; Chi, I-Cheng
Shannon; Ye, Qing; Elder, Amy M.; Jenkins, Tracy J.
Millennium Pharmaceuticals, Inc., USA PCT Int. Appl., 204 pp. CODEN: PIXXD2 Patent LA Eng. FAN.CNT 1 PATENT NO. PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2003037271 A2 20030508 WO 2002-US34845 20021030
WO 2003037271 A3 20031016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, II, IN, IS, DF, KE, KG, KP, KR, KZ, LC, LK, LK, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, PI, FB, BG, CH, CY, CZ, DE, DK, EE, ES, PI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-140663P P 20011030

ONARPAT 138:366921

IT 521979-54-4P, 3-{4-Hydroxy-1-(3-(2-methoxyphenoxy)benzyl]piperidin-4-yllnaphthalene-2-sulfonic acid ethylamide monoformate

\$232979-56-6P, 3-(4-Hydroxy-1-(3-(2-methoxyphenoxy)benzyl]piperidin-4-yllnaphthalene-2-sulfonic acid ethylamide monoformate

RL: PAC (Pharmacological activity); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Usee) KIND DATE APPLICATION NO. DATE (Uses)
(drug candidate; preparation of compds. as C-C chemokine receptor 8 antagoniats, pharmaceutical compns. and use against inflammatory or viral disorders)
521979-54-4 CAPLUS
Formic acid, compd. with N-ethyl-3-[4-hydroxy-1-[3-(2-methoxyphenoxy)phenoxylphenox

L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CRN 521979-53-3

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ANSWER 2 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

Azabicyclylmethyl derivs. of 7,8-dihydro-1,6,9-trioxa-3-azacyclopenta[a]naphthalene [1: wherein X-Y-2 = N:C(R2)-0, N:C(R2)-NH, NH-C(R2)-CH; R1 = H, halo, CN, carboxamido, carboalkoxy, CF3, etc.; R2 = H, halo, CF3, amino, mono- or dialkylamino, etc.; R3 = Ph, naphthyl, anthracyl, phenanthryl, pyridyl, pyrimidyl, etc.] were prepared For example. (8R)-2-methyl-7,8-dihydro[1,4]dioxino[2,3-9][1,3]benzoxazol-8-ylmethyl 4-methylbenzenesulfonate (synthetic preparation given) was ted reacted

yaments, and the second of the

for the control of various physiol. phenomena, such as eating disorders, disorders of thermoregulation, and sleep and sexual dysfunction.

AN 2004:Baybea Chillow
137:353043
TI Preparation of azabicyclylmethyl derivatives of
7,8-dihydro-1,6,9-trioxa-3azacyclopenta[a]naphthalene as 5-HTIA antagonists
IN Stack, Gary Paul; Gilbert, Adam Matthew; Tran, Megan
A Wyeth, John, and Brother Ltd., USA
PCT Int. Appl., 43 pp.
CODEN: PIXXD2

TT Patent

LA English FAN.CNT 1

TM

	PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
	WO 2002088145			A1 20021107									20020425				
PΙ							WO 2002-US13114										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	ÐΕ,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR.	TT.	TZ.
								ZM,									

ANSWER 3 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

Azabicyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino- $\{2,3-f\}$ quinoline $\{I; wherein\ X=N,\ CR4;\ Y=N,\ CH;\ R1=H,\ halo,\ CN,\ carboxamido,$ AB

wherein X = N, CR4; Y = N, CH; R1 = H, halo, CN, carboxamido, carboxlkoxy,

CF3, etc.; R2 = H, OH, halo, amino, mono- or dialkylamino, etc.; R3 = Ph, naphthyl, anthracyl, phenanthryl, pyridyl, pyrimidyl, etc.; R4 = H,

(C1-C6)alkyl] were prepared For example, (2R)-8-methyl-2,3dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl 4-methylbenzenesulfonate
(synthetic preparation given) is reacted with 3-phenyl-8-azabicyclo[3.2.1]octan-3-ol to give the S-enantiomer of 8-{[8-methyl-2,3dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl}-3-phenyl-8azabicyclo[3.2.1]octan-3-ol. The title compda are useful for treating
the cognitive deficits due to aging, stroke, head trauma, Alzheimer's
disease or other neurodegenerative disease, or schizophrenia and are
also

disease or other neurodegenerative diseases, or schizophrenia and are observed to the treatment of disorders such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as eating disorders, disorders of thermoregulation, and sleep and sexual dysfunction.

2002:849633 CAPLUS
137:35303
Preparation of azabicyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino-[2,3-flquinoline as 5-HT1A antagonists
Stack, Gary Paul; Gilbert, Adam Matthew; Tran, Megan Myeth, John, and Brother Ltd., USA
PCT Int. Appl., 36 pp.
CODEN: PIXXD2
Patent
English
CNT II
PATENT NO. KIND DATE APPLICATION NO. DATE

DT LA FAN

WO 2002088130 A1 20021107 WO 2002-US12953 20020425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, PI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, N, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,

10/722,114

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L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN: GH. GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,

CY, DE, DK, ES, FI, FR, GB, GR, 1E, IT, LU, MC, NL, PT, SE, TR,

BP, BJ, CF, GG, CI, CM, GA, GM, GO, GW, ML, MR, NS, SN, TD, TG

US 2003181336 A1 20031205 US 2002-131917 20020425

US 6780860 B2 20040824

PRAI US 2001-28681BP P 20010426

NAMPAT 137:353043

IT 474534-35-SP

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azabicyclooctanol benzodioxan derive, as S-HTIA antagoniate
```

(preparation of azabicyclooctanol benzodioxan derive. as 5-HTIA
antagonists

for treatment of cognitive deficit disorders and disorders due to
excessive serotonin stimulation)

RN 474534-35-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-(2-naphthalenyl)-8-(phenylmethyl)- (9CI)
(CA INDEX NAME)

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 5

L4 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, TT, SE, TR,

BF, BJ, CF, CG, CI, CM, GA, GM, GO, GW, ML, MR, NE, SN, TD, TG

US 2002183322 A1 20021205 US 2002-131355 20020424

PRAI US 2001-286576 P 20010426

OS MARPAT 137:353033

IT 474534-35-5P 20010426

RB: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Preparation of axabicyclocotanol quinolinodioxan derivs. a8 5-HTIA antagonists for treatment of cognitive deficit disorders and disorders due to excessive serviconin stimulation)

RN 474534-35-5 CAPLUS

CN 8-Azabicyclo(3.2.1)octan-3-ol, 3-(2-naphthalenyl)-8-(phenylmethyl)- (9CI) (CA INDEX NAME)

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 5

ANSWER 4 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

The title compds. I (R1 = 1-6 carbon straight chain alkyl, 3-8 carbon branched alkyl, R2 = Ph, naphthyl, pyridyl, etc.) were prepared by

ting
benzodioxans II (X = halogen, SO2CF3, alkylsulfonate, etc.) with the
corresponding hydroxy axabicyclocotanol derive. III. Thus,
naphthalenylazabicyclocotanol IV was prepared from tropinone,
2-bromonaphthalene, and (R)-toluene-4-sulfonic acid 8-ethoxy-2,3dihydrobenzo[1,4]dioxin-2-ylmethyl ester. In the HC 5-HTIA binding

dihydrobenzo[1,4]dioxin-2-ylmethyl ester. In the HC 5-HTIA binding
asmay.

IV had an activity of 5.9 nm Ki. I are useful for treating the cognitive
deficits due to aging, stroke, head trauma, Alzheimer's disease or other
neurodegenerative diseases, or schizophrenia and also treatment of
disorders related to excessive serotonergic stimulation, such as anxiety,
aggression and stress, and for the control of various physiol. phenomena,
such as appetite, thermoregulation, sleep and sexual behavior, which are
known the be, at least in part, under serotonergic influence.

AN 2002:832796 CAPLUS

N 137:337897

TI Preparation of 8-aza-bicyclo[3.2.1]octan-3-ol derivatives of
2.3-dihydro-1.4-benzodioxan and their 5-HTIA antagonist activity

IN Gilbert. Adam Matthew; Stack, Gary Paul

Wyeth, John, and Brother Ltd., USA

PCT Int. Appl., 34 pp.
CODEN, PIXXD2

T Patent

English
FAN.CNT 1

DT PAL LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE WO 2002085900 Al 20021031 WO 2002-US12837 20020424 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

ANSWER 5 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

Disclosed are methods for treating or preventing Alzheimer's discase, and other diseases, and/or inhibiting \$\beta\$-secretase enzyme, and/or inhibiting the apetide in a mammal, using 3.4-disubstituted piperidinyl compds. (I) wherein the variables \$\mathrm{R}\$1, \$\mathrm{R}\$2, \$\mathrm{R}\$3, \$\mathrm{R}\$4, \$\mathrm{Q}\$4, \$\mathrm{Q}\$5, \$\mathrm{W}\$5, \$\mathrm{W}\$5, \$\mathrm{W}\$5, \$\mathrm{R}\$2, \$\mathrm{R}\$3, \$\mathrm{R}\$4, \$\mathrm{Q}\$4, \$\mathrm{Q}\$5, \$\mathrm{W}\$5, \$\mathrm{W}\$5, \$\mathrm{R}\$2, \$\mathrm{R}\$3, \$\mathrm{R}\$4, \$\mathrm{Q}\$5, \$\mathrm{W}\$5, \$\mathrm{W}\$5, \$\mathrm{W}\$5, \$\mathrm{R}\$2, \$\mathrm{R}\$3, \$\mathrm{R}\$4, \$\mathrm{Q}\$5, \$\mathrm{W}\$5, \$\mathrm{W}\$5, \$\mathrm{R}\$2, \$\mathrm{R}\$3, \$\mathrm{R}\$3, \$\mathrm{Q}\$5, \$\mathrm{W}\$5, \$\mathrm{R}\$3, \$\mathrm{R}\$3,

compds. nor the methods of preparation are claimed, apprx... Let example prepas., translations from the German examples of patent W0 9709311, are included. I inhibit β -secretase with ICSO < 50 μ M; compds. that are effective inhibitors of β -secretase activity demonstrate reduced cleavage of the substrate as compared to a control. In I, R1 is aryl, heterocycle; R2 is Ph, naphthyl, acenaphthyl, cyclohexyl, pyridyl, pyrimidinyl, pyrazinyl, oxopyridinyl, diazinyl, triazolyl, thienyl, oxazolyl, oxadazolyl, thiazolyl, pyriolyl, or furyl, optionally substituted. R3 is: H, hydroxy, lower-alkoxy, or lower-alkenyloxy; R4 is:

H, lower-alkyl, lower-alkenyl, lower-alkoxy, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, benzyl, oxo, or where R3 and R4 together are a bond, or as specified in the claims. Q is: ethylene, or is absent; X is: a bond, -O-, -S-, -CH-R11 (R1) defined in claims), -CHOR9- (R9 defined

claims), -OCO, -CO-, or C:NOR10- (R10 is carboxyalkyl, alkoxycarbonylalkyl, alkyl or H), with the bond emanating from an O or S atom joining to a saturated C atom of group Z or to R1; W is: -O-, or Z

is: lower-alkylene, lower-alkeylene, hydroxy-lower-alkylidene, -O--Alk- (Alk is a lower alkylene), -S-Alk-, -Alk-O-, or -Alk-S. N i or 0 or 1 when X is -O-CO; and where m is 0 or 1; with provisos. 2002:754196 CAPLUS 137:257677

Methods of treating or preventing Alzheimer's disease using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes
Nieman, James A.; Fang, Lawrence; Jagodzinaka, Barbara Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company PCT Int. Appl., 449 pp.
CODEN: PIXXD2
Patent
Encelish

DT

LA English FAN.CNT 1

PATENT NO. DATE KIND APPLICATION NO. DATE WO 2002076440 A2 A3 20021003 WO 2002-US9100

705440 AZ 2002103 W0 2002-059100 20020321 705440 A3 20021128 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

10/722,114

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ANSWER 4 OF 22 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)
CO. CR. CU. CZ. DE, DK. DM. DZ. EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, ILI, HN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TM, TR, TT, TZ,
UA, UG, UZ, VN, YU, ZA, ZM, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ,
TM

RW: GH, GM, KE, LS, MW, M2, SD, SL, SZ, TZ, UG, ZM, ZM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003032648 A1 20030213 US 2002-128057 20020423
US 6656951 B2 20031202
US 2004663728 A1 20040401 US 2003-663533 20030916

PRAI US 2001-286061P P 20010424
US 2002-128057 A1 20020423

OS MARPAT 137:337897
IT 473968-94-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azabicyclooctanol benzodioxan deriva. and their 5-HTIA antagonist activity using cloned human-SHTIA receptors for treatment of
                            cognitive deficit disorders and disorders due to excessive serotonin atimulation)
473968-94-4 CAPUS
8-Azabicyclo[3.2.1]octan-3-o1, 3-(2-naphthaleny1)-8-(phenylmethy1)-,
(3-endo)- {9CI} (CA INDEX NAME)
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THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 2

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L4 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L5, LT, LU, LV, MA, MD, MG, MK, MN, MM, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZM, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM

RN: GM, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CT, DE, DK, ES, PI, FR, GB, GR, IE, LT, LU, MC, NL, PT, SE, TR,
BP, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-278371P P 20010323

US 2001-308729P P 20010730

MARPAT 137:257677

IT 188861-08-7P, 3-Piperidinol, 4-(1-naphthalenyl)-1-(phenylmethyl)-,
trans-189861.2-4P, 4-Piperidinol, 4-(1,2-dihydro-5-
acenaphthylenyl)-1-(phenylmethyl)- 188962-05-7P, 4-Piperidinol, 4-(2-naphthalenyl)-1- (rang-
189862-05-7P, 4-Piperidinol, 4-(2-naphthalenyl)-1- (phenylmethyl)-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(methods of treating or preventing Alzheimer's and other diseases
                                 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes)
188861-08-7 CAPLUS
3-Piperidinol, 4-(1-naphthalenyl)-1-(phenylmethyl)-, (3R,4R)-rel- (9CI)
(CA INDEX NAME)
   Relative stereochemistry
```

188861-21-4 CAPLUS 4-Piperidinol, 4-(1,2-dihydro-5-acenaphthylenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

Ph-CHo

188861-25-8 CAPLUS 3-Piperidinol, 4-(1,2-dihydro-5-acenaphthylenyl)-1-(phenylmethyl)-, (ZR,4R)-rel (9CI) (CA INDEX NAME)

Relative stereochemistry.

188862-05-7 CAPLUS 4-Piperidinol, 4-(2-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX

L4 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1363898 A1 20031126 EP 2002-723310 20020302

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RQ, MK, CY, AL, TR
US 2003092732 A1 200309515 US 2002-90288 20020304
US 2003096827 A1 20030525 US 2002-90288 20020304
US 6713487 B2 20040330
PRAI US 2001-27320FP P 20010302
US 2001-27320FP P 20010302
US 2001-273291P P 20010302
US 2002-US6479 W 20020302

OS MARPAT 137:232913
R1: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides for pharmaceutical use as modulators of

(preparation of peptides for pharmaceutical use as modulators of

melanocertin receptors) 4579044 open change of medianocertin receptors) 457904-09-5 CAPLUS 1H-Imidazole-4-propanamide, α -(acetylamino)-N-{(IR)-1-[(4-methoxyphenyl)methyl)-2-[4-(1-naphthalenyl)-1-piperidinyl}-2-oxoethyl]-, (aS)- (9C) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

Compds. W-(CR6R7)yCH(G)(CR4R5)xCO-X(R1)CHR2(CHR3)r(CH2)sCO-E (X . N or

CH;

R1, R3 = H or alkyl; R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclyl,
(un)substituted alkyl or alkenyl; R1 together with R2 or R3 or R2
together
with R3 form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or
heterocyclyl; E = (un)substituted pyrrolidino, piperidino,
hexahydro-1-azepinyl, 1-piperazinyl, cyclopentyl, cycloheptyl,
cycloheptyl,
amino, (cyclo)alkylamino; R4-R6 = H, (un)substituted alkyl, amino,
alkylamino, hydroxy, alkoxy, aryl, cycloalkyl, heteroaryl, or
heterocyclyl; or CR4R5 or C6R7 im a spirocycloalkyl ring; r, s = 0 or 1;
X

heterocyclyl; or CRATS or C6R7 is a spirocycloalkyl ring; r, s = 0 or 1;

x = 0-4; y = 0-2; G = alkenyl, arylalkenyl, hydroxy, heteroaryl, cyano, functionalized alkyl or alkenyl, etc.; W = amino, alkylamino, hydroxy, alkoxy, carbamoyl, amidino, cycloalkyl, heteroaryl, heterocyclyl, etc.) were prepared as modulators of melanocortin receptors, particularly MC-1R and MC-RR. Thus, peptide I was prepared by a solution-phase peptide coupling/deprotection scheme.

AN 2002:695975 CAPLUS

IN 137:212913

TI Preparation of peptides for pharmaceutical use as modulators of melanocortin receptors

N Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton, George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.;

Thibault, Carl

PA Bristol-Myers Squibb Company, USA
PCT Int. Appl., 107 pp.
COOEN: PIXXD2

Patent

LA English
FAN.CNT 3

PATENT NO. KIND DATE

APPLICATION

NT 3 PATENT NO. DATE APPLICATION NO. DATE

ANSWER 7 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

11

AB The title compds. [I; Arl = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.; Z = a direct link, O, SO2, etc.; A = CR4, N; R4 = H, alkyl, OH, (un)substituted Ph, n = 1-3; O = 1-2; E = alkylene optionally containing 1-2 double bonds or one triple bond and optionally incorporating an O, S, NH, N(alkyl) in the chain; X = a direct link, O, NHCO, etc.; Ar2 = (un)substituted Ph, 5-6 membered heteroaryl, bicyclic heteroaryl; G = H, YAR3; Y = a direct link, O, alkylene, etc.; Ar3 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.l and their physiol, acceptable salts, useful in the manufacture of a medicament for the treatment of diseases

ameliorated by LDL-r upregulation, were prepared Thus, amidation of 4-[4-(1-methyl-1H-indol-3-yl)piperidin-1-yl)butylamine (preparation given) with

4'-cyanobiphenyl-4-carboxylic acid afforded 33% II which showed ICSO of

nM in assay for LDL-r promoting activity.

AN 2002:539658 CAPLUS
DN 137:109294
The Preparation of aryl piperidines and piperazines as inducers of LDL-receptor expression
Bouilot, Anne Marie Jeanne; Bombrun, Agnes; Dumaitre, Bernard Andre; Gosmini, Romain Luc Marie
Gosmini, Romain Luc Marie
Gosmithikine, UK
PCT Int. Appl., 115 pp.
COODEN. PIXXD2
DT Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION No. Date

PATENT NO. KIND DATE APPLICATION NO. DATE 2002055496 Al 20020718 WO 2001-GB158 20010115 2002055496 Cl 20030717 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, WO 2002055496 PΙ

10/722,114

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L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MM, MX, MX, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW

RW: GH, GM, KE, LS, MM, MZ, SD, SI, SZ, TZ, UG, ZW, AM, AZ, BY, KG,
KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
IE, IT, LU, MC, NL, PT, SE, TR, BP, BJ, CF, CG, CI, CM, GA, GN,
GW, ML, MR, NE, SN, TD, TG
EP 1351936

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
LS, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2004520347

T2 20040708
US 2004077654

A1 20040115
PARAI MO 2001-061588
W 20010115
PRAI MO 2001-061588
W 20010115
        US 2004077654 A1
PRAI WO 2001-CB158 W
OS MARPAT 137:109294
IT 130305-57-6P 188862-05-7P
     IT 130305-57-69 188862-05-79
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of aryl piperidines and piperazines as inducers of
LDL-receptor
expression)
RN 130305-57-6 CAPLUS
CN 4-Piperidinol, 4-(1-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX
NAME)
       RN
CN
NAME)
                                 188862-05-7 CAPLUS
4-Piperidinol, 4-(2-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX
                                                                                                                     CH2-Ph
                                                                                    THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
                               ANSWER 8 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
                           The invention relates to remedies for pain which contain as the active ingredient compds. having both of an opioid \mu receptor agonist activity and a dopamine D2 receptor angonist activity. The compds having both of these activities exert a potent morphine-like analystic effect but cause no mental dependency. Moreover, these compds. can regulate side effects. In particular, novel compds. represented by general formula I [ A = (un)substituted S, N or O: 5-6 cyclic, B = N or O: 5-6 cyclic; C = benzene or pyridine; D = (un)substituted S, N or O: aromatic) and macol.
                    benzene or pyridine; D = (un)substituted S, N or O: aromatic) and transcal.

acceptable salts thereof have both of the opioid µ receptor agonist activity and the dopamine D2 receptor antagonist activity and the dopamine D2 receptor antagonist activity and are useful as remedies for pain with regulated side effects.

2000:456917 CAPLUS
133:84289
compounds having both of opioid µ receptor agonist activity and dopamine D2 receptor antagonist activity as remedies for pain Akiyama, Yoshihisa; Kudou, Toshiaki, Mori, Tomohisa; Asai, Kenji; Mike, Naoko; Yanagisawa, Yumiko; Matanabe, Takashi; Tsushima, Masaki; Hiranuma, Toyokazu
Meiji Seika Kaisha, Ltd., Japan
PCT Int. Appl., 77 pp.
CODEN: PIXM2
Patent
Japanese
.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE
                        WO 2000038720

A1 20000706 WO 1999-JP7191 19991221

W: AE, AL, AM, AT, AU, AZ, BA, BB, BC, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, SS, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MN, MN, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RN: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, CG, CI, CM, GA, GN, GM, ML, MR, NE, SN, TD, TO, CA 2556269

P1 142587

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 1999-136812

A 19991221

A 199912318
PRAI JP 1998-
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ANSWER 8 OF 22 CAPLUS COPYRIGHT 2004 ACS ON STN WO 1999-J97191 W 19991221 MARRAT 133:84289 280123-47-9P
                                                            (Continued)
4-Piperidinecarbonitrile, 4-(1-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)
          THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
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ANSWER 7 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

ANSWER 9 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

Title compds. [I; AB = C:CH, CHCH2; n = 0, 1; R1 = H, alkyl; R2 = H, Me, Et; Ar = (substituted) naphthyl, heteroaryl; when n = 1, AB = CHCH2], AB

prepared as inhibitors of serotonin reuptake (no data). Thus, benzofuran-2-boronic acid, 1-phenoxycarbonyl-2-methyl-4-trifluoromethanesulfonyloxy-1, 2,3,6-tetrahydropyridine, Pd(Ph3P)4, and LiCl were refluxed in dimethoxyethane/aqueous Na2CO3 to give 90% 1-phenoxycarbonyl-2-methyl-4-(benzofur-2-yl)-1,2,3,6-tetrahydropyridine, which was converted to cia- and trans-2-methyl-4-(benzofur-2-yl)piperidine. A capsule formulation containing the latter is given. 1999:810928 CAPLUS yl)piperidine. A ca 1999:810928 CAPLUS 132:35616

Preparation of aryltetrahydropyridines and arylpiperidines as inhibitors

of Berotonin reuprake.

Koch, Daniel James; Rocco, Vincent Patrick
Eli Lilly and Co., USA
Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW

PA SO

DT

DT Patent LA English FAN.CNT 1 PATENT NO. LATE

1.299-304699 1.9990616

1.61, RO

1.20101016 US 1999-325302 1.9990603

1.20101016 US 1999-325302 1.9990603

1.20101016 US 1999-325302 1.9990603

2.21322 AA 1.9991223 CA 1999-2315322 1.9990604

2.21322 WO 9965487 A1 1.9991223 WO 1999-US12473 1.9990604

2.21322 WO 19965487 WO 1999-US12473 1.9990604

2.21322 WO 9965487 AI 1.0991223 WO 1999-US12473 1.9990604

2.21322 WO 19965487 AI 1.0991223 WO 1999-US12473 1.9990604

2.21322 WO 19965487 AI 1.0991223 WO 1999-US12473 1.9990604

2.21322 WO 19965487 AI 1.0991223 WO 1999-US12473 1.9990604

2.21322 WO 1999-US12473 WO 1999-US12473 1.9990604

2.21323 WO 1999-US12473 WO 1999-US1247 WO 1999-US12473 WO 1999-US12473 WO 1999-US1247 WO 1999-US1247 WO 19 KIND DATE APPLICATION NO.

ANSWER 9 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

CAPLUS

Methanesulfonic acid, trifluoro-, 6-[1-(phenylmethyl)-4-piperidinyl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)

CH2-Ph

252563-73-8 CAPLUS
Piperidine, 4-(6-methyl-2-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA

CH2-Ph

252563-74-9 CAPLUS
Piperidine, 4-(6-ethenyl-2-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA
INDEX NAME)

CH2-Ph

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 9 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of aryltetrahydropyridines and arylpiperidines as inhibitors

gerotonin reuptake)
1305-57-6 CAPIUS
4-Piperidinol, 4-(1-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX

Ph-CH2

200875-26-9 CAPLUS 4-Piperidinol, 4-(6-hydroxy-2-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

 ${\rm CH_2}-{\rm Ph}$

252563-70-5 CAPLUS
Piperidine, 4-(6-methoxy-2-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA
RNDEX NAME)

252563-71-6 CAPLUS 2-Naphthalenol, 6-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX

ANSWER 10 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AB Ligands for the CCR1 receptor (MIP-10 and RANTES) have been implicated in a number of chronic inflammatory diseases, most notably multiple sclerosis and rheumatoid arthritis. Because these ligands share a common receptor, CCR1, we sought to discover antagonists for this receptor as an approach to treating these disorders. A novel series of 4-hydroxypiperidines has been discovered by high throughput acreening (HTS) which potently inhibits the binding of MIP-1a and RANTES to the recombinant human CCR1 chemokine receptor. The structure-activity relationships of various segments of this template are described as the initial HTS lead was optimized synthetically to the highly potent receptor antagonist I. This compound has been shown to have at least 200-fold selectivity for inhibition of CCR1 over other human 7-TM receptors, including other chemokine receptors. In addition, data obtained from in vitro functional assays demonstrate the functional antagonism of compound I and structurally related analogs against the CCR1 receptor in a concentration dependent manner. The discovery and optimization of potent and selective CCR1 receptor antagonists represented by compound I potentially represent a novel approach to the treatment of chronic inflammatory diseases. An 1999:643381 CAPLUS
DN 132:8707
TI Discovery of Novel Non-Peptide CCR1 Receptor Antagonists
AU Ng, Howard P.; May, Karen; Bauman, John G.; Ghannam, Ameen; Islam, Imadul;
Liang, Meina; Horuk, Richard; Hesselgesser, Joseph; Snider, R. Michael; Perez, H. Danieli Morriange, Michael;

ul;
Liang, Meina; Horuk, Richard; Hesselgesser, Joseph; Snider, R. Michael;
Perez, H. Daniel; Morrissey, Michael M.
Departments of Discovery Research and Immunology, Berlex Biosciences,
Richmond, CA, 94804-0099, USA
Journal of Medicinal Chemistry (1999), 42(22), 4680-4694
CODEN: JMCMAR; ISSN: 0022-2623
American Chemical Society
Journal English
251159-60-1P

СŞ

so

LA IT

RL: BAC (Biological activity or effector, except adverse); BSU

ddy, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); DL (Biological study); PREP (Preparation); USES (Uses) (preparation of and structure-activity studies on non-peptide CCR1

receptor

antagonists)
RN 251359-60-1 CAPLUS